

contd.
a³
B¹
cont

R⁴, at each occurrence, is selected from C₁-8 alkyl, C₂-8 alkenyl, C₂-8 alkynyl, (CR'R')_rC₃-6 cycloalkyl, Cl, Br, I, F, NO₂, CN, (CR'R')_rNR^{4a}R^{4a}, (CR'R')_rOH, (CR'R')_rOR^{4d}, (CR'R')_rSH, (CR'R')_rSR^{4d}, (CR'R')_rC(O)OH, (CR'R')_rC(O)R^{4b}, (CR'R')_rC(O)NR^{4a}R^{4a}, (CR'R')_rNR^{4f}C(O)R^{4b}, (CR'R')_rC(O)OR^{4d}, (CR'R')_rOC(O)R^{4b}, (CR'R')_rNR^{4f}C(O)OR^{4d}, (CR'R')_rOC(O)NR^{4a}R^{4a}, (CR'R')_rNR^{4a}C(O)NR^{4a}R^{4a}, (CR'R')_rS(O)_pR^{4b}, (CR'R')_rS(O)₂NR^{4a}R^{4a}, (CR'R')_rNR^{4f}S(O)₂R^{4b}, (CR'R')_rNR^{4f}S(O)₂NR^{4a}R^{4a}, C₁-6 haloalkyl, and (CR'R')_rphenyl substituted with 0-3 R^{4e};

alternatively, two R⁴ on adjacent atoms join to form -O-(CH₂)-O-;

R^{4a}, at each occurrence, is independently selected from H, methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-C₃-6 carbocyclic residue selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

R^{4b}, at each occurrence, is selected from methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, a (CH₂)_r-C₃-6 carbocyclic residue substituted with 0-3 R^{4e}, wherein the carbocyclic residue is selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl, and a (CH₂)_r-

contd.

a³

B¹
Cont

5-6 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, and S, substituted with 0-2 R^{4e}, wherein the heterocyclic system is selected from pyridinyl, thiophenyl, furanyl, indazolyl, benzothiazolyl, benzimidazolyl, benzothiophenyl, benzofuranyl, benzoxazolyl, benzisoxazolyl, quinolinyl, isoquinolinyl, imidazolyl, indolyl, indolinyl, isoindolyl, isothiadiazolyl, isoxazolyl, piperidinyl, pyrazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, tetrazolyl, thiadiazolyl, thiazolyl, oxazolyl, pyrazinyl, and pyrimidinyl;

R^{4d}, at each occurrence, is selected from H, methyl, CF₃, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-C₃₋₆ carbocyclic residue selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

R^{4e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{4f}R^{4f}, and (CH₂)_rphenyl;

R^{4f}, at each occurrence, is selected from H, methyl, ethyl, propyl, i-propyl, butyl, and cyclopropyl, cyclobutyl, and phenyl;

R⁵, at each occurrence, is selected from methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, t-butyl, pentyl, hexyl, (CR'R')_rC₃₋₆ cycloalkyl, Cl, Br, I, F,

contd.

a³

B¹

Cont

NO_2 , CN , $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{5\text{a}}\text{R}^{5\text{a}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{OH}$, $(\text{CR}'\text{R}')_{\text{r}}\text{OR}^{5\text{d}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{SH}$, $(\text{CR}'\text{R}')_{\text{r}}\text{C}(\text{O})\text{H}$, $(\text{CR}'\text{R}')_{\text{r}}\text{SR}^{5\text{d}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{C}(\text{O})\text{OH}$, $(\text{CR}'\text{R}')_{\text{r}}\text{C}(\text{O})\text{R}^{5\text{b}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{C}(\text{O})\text{NR}^{5\text{a}}\text{R}^{5\text{a}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{5\text{f}}\text{C}(\text{O})\text{R}^{5\text{b}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{C}(\text{O})\text{OR}^{5\text{d}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{OC}(\text{O})\text{R}^{5\text{b}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{5\text{f}}\text{C}(\text{O})\text{OR}^{5\text{d}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{OC}(\text{O})\text{NR}^{5\text{a}}\text{R}^{5\text{a}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{5\text{a}}\text{C}(\text{O})\text{NR}^{5\text{a}}\text{R}^{5\text{a}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{7\text{a}}\text{C}(\text{O})\text{NR}^{7\text{a}}\text{R}^{7\text{a}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{7\text{a}}\text{C}(\text{O})\text{O}(\text{CR}'\text{R}')_{\text{r}}\text{R}^{7\text{d}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{S}(\text{O})_{\text{p}}\text{R}^{5\text{b}}$, $(\text{CR}'\text{R}')_{\text{r}}\text{S}(\text{O})_2\text{NR}^{5\text{a}}\text{R}^{5\text{a}}$,
 $(\text{CR}'\text{R}')_{\text{r}}\text{NR}^{5\text{f}}\text{S}(\text{O})_2\text{R}^{5\text{b}}$, C₁₋₆ haloalkyl, and
 $(\text{CHR}')_{\text{r}}$ phenyl substituted with 0-3 R^{5e};

alternatively, two R⁵ on adjacent atoms join to form
-O-(CH₂)-O-;

R^{5a}, at each occurrence, is independently selected from H, methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-C₃₋₁₀ carbocyclic residue substituted with 0-1 R^{5e}, wherein the carbocyclic residue is selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, phenyl and naphthyl;

R^{5b}, at each occurrence, is selected from methyl, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, a (CH₂)_r-C₃₋₆ carbocyclic residue selected from cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and phenyl; and a (CH₂)_r-5-6 membered heterocyclic system containing 1-4

contd.

a³

B¹

Cont

heteroatoms selected from N, O, and S, wherein the heterocyclic system is selected from pyridinyl, thiophenyl, furanyl, indazolyl, azetidyl, benzothiazolyl, benzimidazolyl, benzothiophenyl, benzofuranyl, benzoxazolyl, benzisoxazolyl, quinolinyl, isoquinolinyl, imidazolyl, indolyl, indolinyl, isoindolyl, isothiadiazolyl, isoxazolyl, morphlinyl, piperidinyl, pyrrolyl, 2,5-dihydropyrrolyl, pyrrazolyl, 1,2,4-triazolyl, 1,2,3-triazolyl, tetrazolyl, thiadiazolyl, thiazolyl, oxazolyl, pyrazinyl, and pyrimidinyl;

R^{5d}, at each occurrence, is selected from H, methyl, CF₃, ethyl, propyl, i-propyl, butyl, s-butyl, i-butyl, t-butyl, pentyl, hexyl, allyl, propargyl, and a (CH₂)_r-C₃₋₆ carbocyclic residue selected from cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl;

R^{5e}, at each occurrence, is selected from C₁₋₆ alkyl, C₂₋₈ alkenyl, C₂₋₈ alkynyl, (CH₂)_rC₃₋₆ cycloalkyl, Cl, F, Br, I, CN, NO₂, (CF₂)_rCF₃, (CH₂)_rOC₁₋₅ alkyl, OH, SH, (CH₂)_rSC₁₋₅ alkyl, (CH₂)_rNR^{4f}R^{4f}, and (CH₂)_rphenyl; and

R^{5f}, at each occurrence, is selected from H, methyl, ethyl, propyl, i-propyl, butyl, and cyclopropyl, cyclobutyl, and phenyl.

13. (AMENDED) The compound of claims 11-12, wherein

a⁴

contd.

a⁴

B¹

cont

X is CHR¹⁶NR¹⁷;

R⁵ is selected from methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, pentyl, hexyl, CF₃, CF₂CF₃, CF₂H, OCF₃, Cl, Br, I, F, SCF₃, NR^{5a}R^{5a}, NHC(O)OR^{5a}, NHC(O)R^{5b}, and NHC(O)NHR^{5a}; and

R¹² is selected from H and methyl;

Z is -C(O)-;

R¹ is selected from phenyl substituted with 0-3 R⁴, and a 5-10 membered heteroaryl system substituted with 0-2 R⁴, wherein the heteroaryl is selected from indolyl, and pyridyl;

R² is phenyl substituted with 0-2 R⁵;

R³ is selected from (CRR)_qOH, (CRR)_qOR^{3d}, (CH₂)_rC(O)OH, (CH₂)_rC(O)NR^{3a}R^{3a}, (CHR)_rC(O)NR^{3a}OR^{3d}, (CH₂)_rC(O)R^{3b}, (CH₂)_rC(O)OR^{3d}, and (CH₂)-phenyl;

alternatively, R³ and R¹² join to form cyclopropyl, cyclopentyl or cyclohexyl;

R^{3a} is selected from H, methyl, ethyl, propyl, i-propyl, butyl, i-butyl, s-butyl, t-butyl, allyl, CH₂CF₃, C(CH₃)CH₂CH₂OH, cyclopropyl, 1-methylcyclopropyl,

contd.
a⁴

cyclobutyl, cyclopentyl, cyclohexyl, phenyl, and
benzyl;

R^{3b} is selected from pyrrolidinyl, pyrrolid-3-enyl, and
morpholinyl;

R^{3d} is selected from methyl, ethyl, propyl, i-propyl,
butyl, i-butyl, t-butyl and benzyl;

R is selected from H, methyl, ethyl, propyl, i-propyl,
butyl, i-butyl, s-butyl, pentyl, neopentyl, phenyl and
benzyl;

R⁴ is selected from methyl, ethyl, propyl, i-propyl, butyl,
ethylene, OCH₃, OCF₃, SCH₃, SO₂CH₃, Cl, F, Br, CN;

alternatively, two R⁴ join to form -O-(CH₂)-O-;

R⁶ is selected from H, methyl, ethyl, propyl, i-propyl,
butyl, C(O)OCH₃, C(O)NHCH₂CH₃;

R⁷, R⁹, and R¹¹ are H;

R⁸ is H;

R¹⁰ is selected from H and methyl;

R¹⁶ is selected from H and methyl;

R¹⁷ is selected from H and methyl;